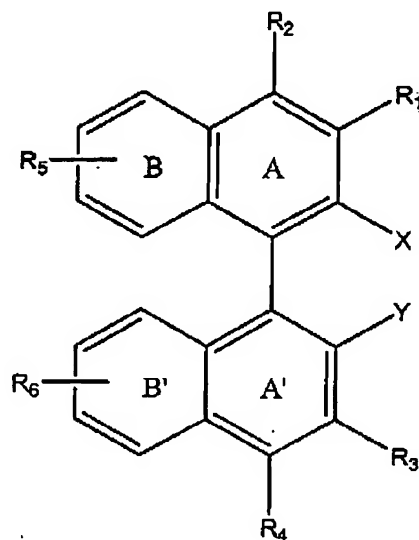


*Clean Version of Amended Claims*

9. (amended) The ligand represented by structure 3:



3

wherein

X and Y represent, independently for each occurrence, NR<sub>2</sub>, PR<sub>2</sub>, AsR<sub>2</sub>, OR, or SR;

R, R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, and R<sub>4</sub>, for each occurrence, independently represent hydrogen, halogen, alkyl, alkenyl, alkynyl, hydroxyl, alkoxyl, silyloxy, amino, nitro, sulfhydryl, alkylthio, imine, amide, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl, carboxamide, anhydride, silyl, thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl, ketone, aldehyde, ester, heteroalkyl, nitrile, guanidine, amidine, acetal, ketal, amine oxide, aryl, heteroaryl, azide, aziridine, carbamate, epoxide, hydroxamic acid, imide, oxime, sulfonamide, thioamide, thiocarbamate, urea, thiourea, or -(CH<sub>2</sub>)<sub>m</sub>-R<sub>80</sub>;

R<sub>5</sub> and R<sub>6</sub>, for each occurrence, independently represent halogen, alkyl, alkenyl, alkynyl, hydroxyl, alkoxyl, silyloxy, amino, nitro, sulfhydryl, alkylthio, imine, amide, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl, carboxamide, anhydride, silyl,

thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl, ketone, aldehyde, ester, heteroalkyl, nitrile, guanidine, amidine, acetal, ketal, amine oxide, aryl, heteroaryl, azide, aziridine, carbamate, epoxide, hydroxamic acid, imide, oxime, sulfonamide, thioamide, thiocarbamate, urea, thiourea, or  $-(CH_2)_m-R_{80}$ ;

the B and B' rings of the binaphthyl core independently may be unsubstituted or substituted with  $R_5$  and  $R_6$ , respectively, any number of times up to the limitations imposed by stability and the rules of valence;

$R_1$  and  $R_2$ , and/or  $R_3$  and  $R_4$ , taken together optionally represent a ring consisting of a total of 5-7 atoms in the backbone of said ring; of which atoms zero, one or two atoms are heteroatoms; and said ring is substituted or unsubstituted;

$R_{80}$  represents an unsubstituted or substituted aryl, a cycloalkyl, a cycloalkenyl, a heterocycle, or a polycycle;

$m$  is an integer in the range 0 to 8 inclusive; and

the ligand, when chiral, is a mixture of enantiomers or a single enantiomer.

10. (amended) The ligand of claim 9, wherein:

X and Y are not identical;

R is selected, independently for each occurrence, from the group consisting of alkyl, heteroalkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, and  $-(CH_2)_m-R_{80}$ ;

$R_1$ ,  $R_2$ ,  $R_3$ , and  $R_4$  are selected, independently for each occurrence, from the group consisting of H, alkyl, heteroalkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, halogen,  $-SiR_3$ , and  $-(CH_2)_m-R_{80}$ ; and

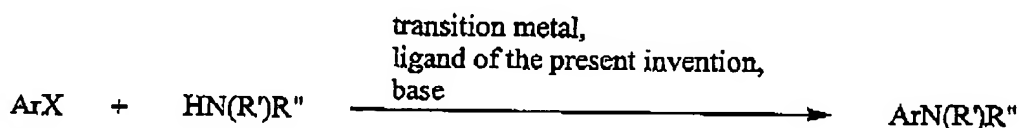
$R_5$  and  $R_6$  are selected, independently for each occurrence, from the group consisting of alkyl, heteroalkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, halogen,  $-SiR_3$ , and  $-(CH_2)_m-R_{80}$ .

11. (amended) The ligand of claim 9, wherein X is  $NR_2$ ; and Y is  $PR_2$ .

*cancel*

12. (amended) The ligand of claim 11, wherein R is independently for each occurrence alkyl or cycloalkyl.

21. (amended) The method depicted in Scheme 1:



Scheme 1

wherein

Ar is selected from the group consisting of optionally substituted monocyclic and polycyclic aromatic and heteroaromatic moieties;

X is selected from the group consisting of Cl, Br, I, -OS(O)<sub>2</sub>alkyl, and -OS(O)<sub>2</sub>aryl;

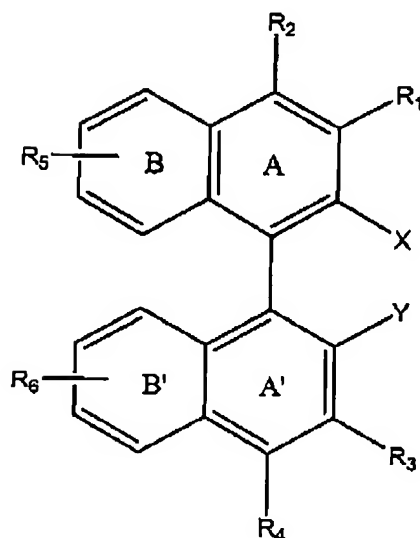
R' and R'' are selected, independently for each occurrence, from the group consisting of H, alkyl, heteroalkyl, aryl, heteroaryl, aralkyl, alkoxy, amino, trialkylsilyl, and triarylsilyl;

R' and R'', taken together, optionally form an unsubstituted or substituted ring consisting of 3-10 backbone atoms inclusive; of which atoms zero, one or two atoms are heteroatoms beyond the nitrogen to which R' and R'' are bonded;

R' and/or R'' may be covalently linked to Ar;

the transition metal is selected from the group consisting of the Group VIIIA metals;

the ligand is selected from the group consisting of a compound represented by 3:



3

wherein

X and Y represent, independently for each occurrence, NR<sub>2</sub>, PR<sub>2</sub>, AsR<sub>2</sub>, OR, or SR;

R, R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, and R<sub>4</sub>, for each occurrence, independently represent hydrogen, halogen, alkyl, alkenyl, alkynyl, hydroxyl, alkoxyl, silyloxy, amino, nitro, sulfhydryl, alkylthio, imine, amide, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl, carboxamide, anhydride, silyl, thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl, ketone, aldehyde, ester, heteroalkyl, nitrile, guanidine, amidine, acetal, ketal, amine oxide, aryl, heteroaryl, azide, aziridine, carbamate, epoxide, hydroxamic acid, imide, oxime, sulfonamide, thioamide, thiocarbamate, urea, thiourea, or  $-(CH_2)_m-R_{80}$ ;

R<sub>5</sub> and R<sub>6</sub>, for each occurrence, independently represent halogen, alkyl, alkenyl, alkynyl, hydroxyl, alkoxyl, silyloxy, amino, nitro, sulfhydryl, alkylthio, imine, amide, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl, carboxamide, anhydride, silyl, thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl, ketone, aldehyde, ester, heteroalkyl, nitrile, guanidine, amidine, acetal, ketal, amine oxide, aryl, heteroaryl, azide, aziridine, carbamate, epoxide, hydroxamic acid, imide, oxime, sulfonamide, thioamide, thiocarbamate, urea, thiourea, or  $-(CH_2)_m-R_{80}$ ;

5

the B and B' rings of the binaphthyl core independently may be unsubstituted or substituted with R<sub>5</sub> and R<sub>6</sub>, respectively, any number of times up to the limitations imposed by stability and the rules of valence;

R<sub>1</sub> and R<sub>2</sub>, and/or R<sub>3</sub> and R<sub>4</sub>, taken together optionally represent a ring consisting of a total of 5-7 atoms in the backbone of said ring; of which atoms zero, one or two atoms are heteroatoms; and said ring is substituted or unsubstituted;

R<sub>30</sub> represents an unsubstituted or substituted aryl, a cycloalkyl, a cycloalkenyl, a heterocycle, or a polycycle;

m is an integer in the range 0 to 8 inclusive;

the ligand, when chiral, is a mixture of enantiomers or a single enantiomer; and

the base is selected from the group consisting of hydrides, carbonates, phosphates, alkoxides, amides, carbanions, and silyl anions.

22. (amended) The method of claim 21, wherein:

the transition metal is palladium; and

the base is an alkoxide, amide, phosphate, or carbonate.

23. (amended) The method of claim 21 or 22, wherein:

X is N(alkyl)<sub>2</sub>, and Y represents P(alkyl)<sub>2</sub> or P(cycloalkyl)<sub>2</sub>; and

X represents Cl or Br.

24. (amended) The method of claim 21, wherein:

Y represents P(alkyl)<sub>2</sub> or P(cycloalkyl)<sub>2</sub>; X represents N(alkyl)<sub>2</sub>;

the transition metal is palladium; and

the base is an alkoxide, amide, phosphate, or carbonate.

25. (amended) The method of claim 24, wherein:

X represents Cl or Br.

26. (amended) The method of claim 21, wherein HN(R')R'' represents an optionally substituted heteroaromatic compound.

27. (amended) The method of claim 21, wherein: X represents Cl; Y represents P(t-Bu)<sub>2</sub> or PCy<sub>2</sub>; X represents NMe<sub>2</sub>; the transition metal is palladium; and the base is an alkoxide, amide, phosphate, or carbonate.

28. (amended) The method of claim 21, wherein: X represents Br or I; Y represents P(t-Bu)<sub>2</sub> or PCy<sub>2</sub>; X represents NMe<sub>2</sub>; the transition metal is palladium; the base is an alkoxide, amide, phosphate, or carbonate; and the transformation occurs at room temperature.

29. (amended) The method of claim 21, wherein: R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub>, and R<sub>8</sub>, independently for each occurrence represent hydrogen; the transition metal is palladium; and the base is an alkoxide, amide, phosphate, or carbonate.

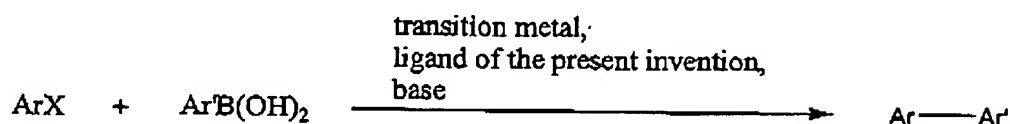
30. (amended) The method of claim 21, wherein: X represents Cl; R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub>, and R<sub>8</sub>, independently for each occurrence represent hydrogen; the transition metal is palladium; and the base is an alkoxide, amide, phosphate, or carbonate.

32. (amended) The method of claim 21, wherein: the transition metal is palladium; and the base is an alkoxide or phosphate.

33. (amended) The method of claim 21, wherein: X represents Cl; R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub>, and R<sub>8</sub> represent hydrogen; Y represents P(t-Bu)<sub>2</sub> or PCy<sub>2</sub>; X represents NMe<sub>2</sub>; the transition metal is palladium; and the base is an alkoxide or phosphate.

34. (amended) The method of claim 21, wherein R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub>, and R<sub>8</sub> represent hydrogen; Y represents P(t-Bu)<sub>2</sub> or PCy<sub>2</sub>; X represents NMe<sub>2</sub>; the transition metal is palladium; and the base is sodium tert-butoxide or potassium phosphate.

41. (amended) The method depicted in Scheme 2:



Scheme 2

wherein

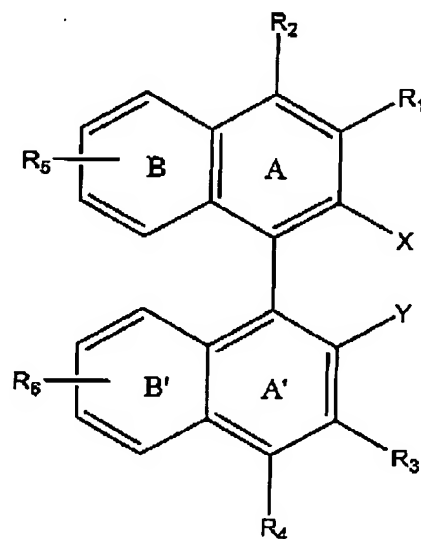
Ar and Ar' are independently selected from the group consisting of optionally substituted monocyclic and polycyclic aromatic and heteroaromatic moieties;

X is selected from the group consisting of Cl, Br, I, -OS(O)<sub>2</sub>alkyl, and -OS(O)<sub>2</sub>aryl;

Ar and Ar' may be covalently linked;

the transition metal is selected from the group consisting of the Group VIIIA metals;

the ligand is selected from the group consisting of a compound represented by 3:



3

wherein

X and Y represent, independently for each occurrence, NR<sub>2</sub>, PR<sub>2</sub>, AsR<sub>2</sub>, OR, or SR;

R, R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, and R<sub>4</sub>, for each occurrence, independently represent hydrogen, halogen, alkyl, alkenyl, alkynyl, hydroxyl, alkoxy, silyloxy, amino, nitro, sulfhydryl, alkylthio, imine, amide, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl, carboxamide, anhydride, silyl, thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl, ketone, aldehyde, ester, heteroalkyl, nitrile, guanidine, amidine, acetal, ketal, amine oxide, aryl,

8

heteroaryl, azide, aziridine, carbamate, epoxide, hydroxamic acid, imide, oxime, sulfonamide, thioamide, thiocarbamate, urea, thiourea, or  $-(CH_2)_m-R_{80}$ ;

*03*  
*Amended*

$R_3$  and  $R_6$ , for each occurrence, independently represent halogen, alkyl, alkenyl, alkynyl, hydroxyl, alkoxyl, silyloxy, amino, nitro, sulfhydryl, alkylthio, imine, amide, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl, carboxamide, anhydride, silyl, thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl, ketone, aldehyde, ester, heteroalkyl, nitrile, guanidine, amidine, acetal, ketal, amine oxide, aryl, heteroaryl, azide, aziridine, carbamate, epoxide, hydroxamic acid, imide, oxime, sulfonamide, thioamide, thiocarbamate, urea, thiourea, or  $-(CH_2)_m-R_{80}$ ;

the B and B' rings of the binaphthyl core independently may be unsubstituted or substituted with  $R_3$  and  $R_6$ , respectively, any number of times up to the limitations imposed by stability and the rules of valence;

$R_1$  and  $R_2$ , and/or  $R_3$  and  $R_4$ , taken together optionally represent a ring consisting of a total of 5-7 atoms in the backbone of said ring; of which atoms zero, one or two atoms are heteroatoms; and said ring is substituted or unsubstituted;

$R_{80}$  represents an unsubstituted or substituted aryl, a cycloalkyl, a cycloalkenyl, a heterocycle, or a polycycle;

$m$  is an integer in the range 0 to 8 inclusive;

the ligand, when chiral, is a mixture of enantiomers or a single enantiomer; and

the base is selected from the group consisting of carbonates, phosphates, fluorides, alkoxides, amides, carbanions, and silyl anions.

42. (amended) The method of claim 41, wherein

the transition metal is palladium; and

the base is an alkoxide, amide, fluoride, phosphate, or carbonate.

43. (amended) The method of claim 41 or 42, wherein

X is  $NR_2$ , and Y represents  $P(alkyl)_2$  or  $P(cycloalkyl)_2$ ; and

X represents Cl or Br.



- 103  
only
44. (amended) The method of claim 41, wherein:

the transition metal is palladium;

Y represents  $P(alkyl)_2$  or  $P(alkyl)_3$ ; X represents  $N(alkyl)_2$ ; and

the base is an alkoxide, amide, carbonate, phosphate, or fluoride.

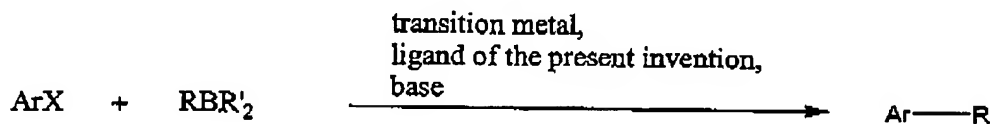
45. (amended) The method of claim 44, wherein:

X represents Cl or Br; and

the reaction occurs at room temperature.

46. (amended) The method of claim 41, wherein  $R_1, R_2, R_3, R_4, R_5, R_6, R_7$ , and  $R_8$  represent hydrogen; Y represents  $P(t-Bu)_2$  or  $PCy_3$ ; X represents  $NMe_2$ ; the transition metal is palladium; and the base is cesium fluoride or potassium fluoride.

53. (amended) The method depicted in Scheme 3:



Scheme 3

wherein

Ar is selected from the group consisting of optionally substituted monocyclic and polycyclic aromatic and heteroaromatic moieties;

R is selected from the group consisting of optionally substituted alkyl, heteroalkyl, and aralkyl;

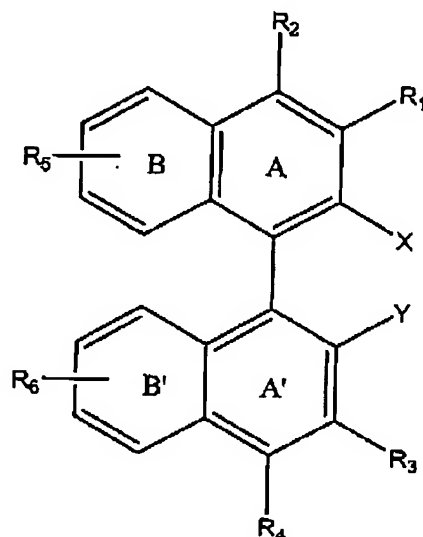
R' is selected, independently for each occurrence, from the group consisting of alkyl and heteroalkyl; the carbon-boron bond of said alkyl and heteroalkyl groups being inert under the reaction conditions;

X is selected from the group consisting of Cl, Br, I,  $-OS(O)_2alkyl$ , and  $-OS(O)_2aryl$ ;

Ar and R may be covalently linked;

the transition metal is selected from the group consisting of the Group VIIIA metals;

the ligand is selected from the group consisting of a compound represented by 3:



3

wherein

X and Y represent, independently for each occurrence,  $\text{NR}_2$ ,  $\text{PR}_2$ ,  $\text{AsR}_2$ , OR, or SR;

$\text{R}$ ,  $\text{R}_1$ ,  $\text{R}_2$ ,  $\text{R}_3$ , and  $\text{R}_4$ , for each occurrence, independently represent hydrogen, halogen, alkyl, alkenyl, alkynyl, hydroxyl, alkoxyl, silyloxy, amino, nitro, sulfhydryl, alkylthio, imine, amide, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl, carboxamide, anhydride, silyl, thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl, ketone, aldehyde, ester, heteroalkyl, nitrile, guanidine, amidine, acetal, ketal, amine oxide, aryl, heteroaryl, azide, aziridine, carbamate, epoxide, hydroxamic acid, imide, oxime, sulfonamide, thioamide, thiocarbamate, urea, thiourea, or  $-(\text{CH}_2)_m\text{-R}_{80}$ ;

$\text{R}_5$  and  $\text{R}_6$ , for each occurrence, independently represent halogen, alkyl, alkenyl, alkynyl, hydroxyl, alkoxyl, silyloxy, amino, nitro, sulfhydryl, alkylthio, imine, amide, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl, carboxamide, anhydride, silyl,

thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl, ketone, aldehyde, ester, heteroalkyl, nitrile, guanidine, amidine, acetal, ketal, amine oxide, aryl, heteroaryl, azide, aziridine, carbamate, epoxide, hydroxamic acid, imide, oxime, sulfonamide, thioamide, thiocarbamate, urea, thiourea, or  $-(CH_2)_m-R_{80}$ ;

the B and B' rings of the binaphthyl core independently may be unsubstituted or substituted with  $R_5$  and  $R_6$ , respectively, any number of times up to the limitations imposed by stability and the rules of valence;

*Amel*  
 $R_1$  and  $R_2$ , and/or  $R_3$  and  $R_4$ , taken together optionally represent a ring consisting of a total of 5-7 atoms in the backbone of said ring; of which atoms zero, one or two atoms are heteroatoms; and said ring is substituted or unsubstituted;

$R_{80}$  represents an unsubstituted or substituted aryl, a cycloalkyl, a cycloalkenyl, a heterocycle, or a polycycle;

$m$  is an integer in the range 0 to 8 inclusive;

the ligand, when chiral, is a mixture of enantiomers or a single enantiomer; and

the base is selected from the set consisting of carbonates, phosphates, fluorides, alkoxides, amides, carbanions, and silyl anions.

54. (amended) The method of claim 53, wherein

the transition metal is palladium; and

the base is an alkoxide, amide, phosphate, or carbonate.

55. (amended) The method of claim 53 or 54, wherein:

X is  $NR_2$ , and Y represents  $P(alkyl)_2$  or  $P(cycloalkyl)_2$ ; and

X represents Cl or Br.

56. (amended) The method of claim 53, wherein

X represents Cl or Br;

the transition metal is palladium; and

the base is an alkoxide, amide, carbonate, phosphate, or fluoride.

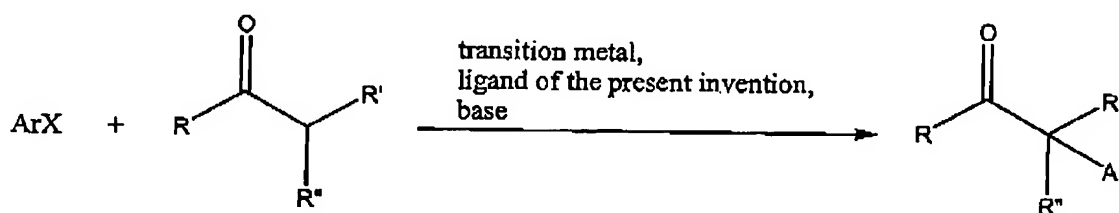
57. (amended) The method of claim 53, wherein

$R_1$  and  $R_2$  are absent; Y represents  $\text{PCy}_2$ , and X represents  $\text{NMe}_2$ ; and

X represents Cl.

58. (amended) The method of claim 53, wherein  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$ ,  $R_7$ , and  $R_8$  represent hydrogen; Y represents  $\text{P}(\text{t-Bu})_2$  or  $\text{PCy}_2$ ; X represents  $\text{NMe}_2$ ; the transition metal is palladium; and the base is cesium fluoride or potassium fluoride.

65. (amended) The method depicted in Scheme 4:



Scheme 4

wherein

Ar is selected from the group consisting of optionally substituted monocyclic and polycyclic aromatic and heteroaromatic moieties;

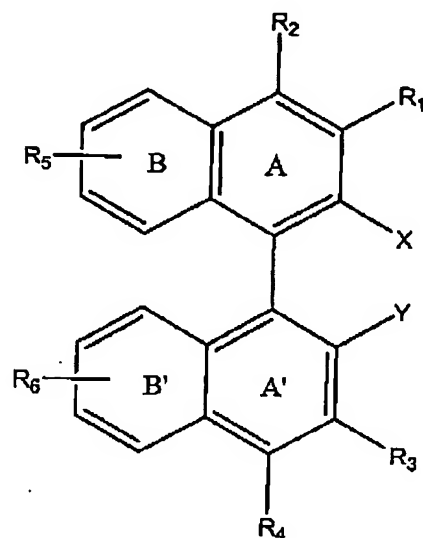
$R$ ,  $R'$ , and  $R''$  are selected, independently for each occurrence, from the group consisting of H, alkyl, heteroalkyl, aralkyl, aryl, heteroaryl;

X is selected from the group consisting of Cl, Br, I,  $-\text{OS}(\text{O})_2\text{alkyl}$ , and  $-\text{OS}(\text{O})_2\text{aryl}$ ;

Ar and one of  $R$ ,  $R'$ , and  $R''$  may be covalently linked;

the transition metal is selected from the group consisting of the Group VIIIA metals;

the ligand is selected from the group consisting of a compound represented by 3;



3

wherein

X and Y represent, independently for each occurrence,  $\text{NR}_2$ ,  $\text{PR}_2$ ,  $\text{AsR}_2$ , OR, or SR;

R,  $\text{R}_1$ ,  $\text{R}_2$ ,  $\text{R}_3$ , and  $\text{R}_4$ , for each occurrence, independently represent hydrogen, halogen, alkyl, alkenyl, alkynyl, hydroxyl, alkoxy, silyloxy, amino, nitro, sulfhydryl, alkylthio, imine, amide, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl, carboxamide, anhydride, silyl, thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl, ketone, aldehyde, ester, heteroalkyl, nitrile, guanidine, amidine, acetal, ketal, amine oxide, aryl, heteroaryl, azide, aziridine, carbamate, epoxide, hydroxamic acid, imide, oxime, sulfonamide, thioamide, thiocarbamate, urea, thiourea, or  $-(\text{CH}_2)_m\text{-R}_{80}$ ;

$\text{R}_5$  and  $\text{R}_6$ , for each occurrence, independently represent halogen, alkyl, alkenyl, alkynyl, hydroxyl, alkoxy, silyloxy, amino, nitro, sulfhydryl, alkylthio, imine, amide, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl, carboxamide, anhydride, silyl, thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl, ketone, aldehyde, ester, heteroalkyl, nitrile, guanidine, amidine, acetal, ketal, amine oxide, aryl, heteroaryl, azide, aziridine, carbamate, epoxide, hydroxamic acid, imide, oxime, sulfonamide, thioamide, thiocarbamate, urea, thiourea, or  $-(\text{CH}_2)_m\text{-R}_{80}$ ;

the B and B' rings of the binaphthyl core independently may be unsubstituted or substituted with R<sub>2</sub> and R<sub>6</sub>, respectively, any number of times up to the limitations imposed by stability and the rules of valence;

R<sub>1</sub> and R<sub>2</sub>, and/or R<sub>3</sub> and R<sub>4</sub>, taken together optionally represent a ring consisting of a total of 5-7 atoms in the backbone of said ring; of which atoms zero, one or two atoms are heteroatoms; and said ring is substituted or unsubstituted;

R<sub>80</sub> represents an unsubstituted or substituted aryl, a cycloalkyl, a cycloalkenyl, a heterocycle, or a polycycle;

m is an integer in the range 0 to 8 inclusive;

the ligand, when chiral, is a mixture of enantiomers or a single enantiomer; and

the base is selected from the set consisting of carbonates, phosphates, fluorides, alkoxides, amides, carbanions, and silyl anions.

66. (amended) The method of claim 65, wherein  
the transition metal is palladium; and  
the base is an alkoxide, amide, phosphate, or carbonate.
67. (amended) The method of claim 65 or 66, wherein  
X is NR<sub>2</sub>, and Y represents P(alkyl)<sub>2</sub> or P(cycloalkyl)<sub>2</sub>; and  
X represents Cl or Br.
68. (amended) The method of claim 65, wherein  
X represents Cl or Br;  
the transition metal is palladium; and  
the base is an alkoxide, or amide.
69. (amended) The method of claim 65, wherein  
R<sub>1</sub> and R<sub>2</sub> are absent; Y represents PCy<sub>2</sub>, and X represents NMe<sub>2</sub>.

71. (amended) The method of claim 65, wherein  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$ ,  $R_7$ , and  $R_8$  represent hydrogen; Y represents  $P(t\text{-Bu})_2$  or  $PCy_2$ ; X represents  $NMe_2$ ; the transition metal is palladium; and the base is cesium fluoride or potassium fluoride.
79. (amended) The method of claim 21, 41, 53, or 65, wherein X is chloride.